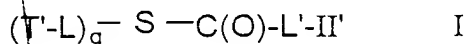


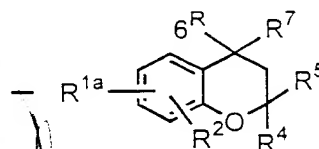
## WHAT IS CLAIMED IS:

1. A combinatorial chemical library of the formula:



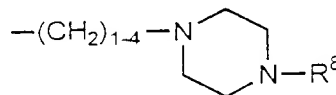
wherein:

- (S) is a solid support;  
 T'-L- is an identifier residue;  
 q is 3-30;  
 -L'-II' is a compound/linker residue; and  
 -II' is of the formula:



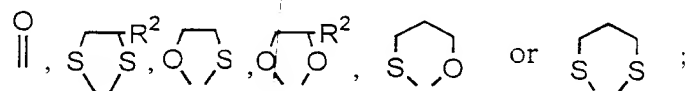
wherein:

- R<sup>1a</sup> is -CH<sub>2</sub>-O-, -CH<sub>2</sub>-CH<sub>2</sub>-O-, -C(=O)-CH<sub>2</sub>-O-,  
 -C(=O)-, or when L' terminates in  
 N(CH<sub>2</sub>)<sub>1-6</sub>R<sup>17</sup>, R<sup>1a</sup> may additionally be  
 -C(=O)-Z-O- or -C(=O)-4-Phe-CH<sub>2</sub>-O-;  
 R<sup>2</sup> is H or lower alkyl;  
 R<sup>3</sup> is H, alkyl, aryl or arylalkyl;  
 R<sup>4</sup> and R<sup>5</sup> are each independently H, lower alkyl,  
 or substituted lower alkyl where the  
 substituents are 1-3 alkoxy, aryl,  
 substituted aryl, carboalkoxy, carboxamido,  
 diloweralkylamido, or



or  $R^4$  and  $R^5$  taken together are  $-(CH_2)_n-$ ,  
 $-(CH_2)_2-O-(CH_2)_2-$ ,  $-CH_2-O-(CH_2)_3-$ ,  
 $-(CH_2)_2-NR^8-CH_2-$ ,  $-CH_2-NR^8-(CH_2)_m-$ ,  
 $-(CH_2)_2XH(NHR^8)(CH_2)_2-$ ,  $-(CH_2)_2-S(O)_{0-2}-(CH_2)_2-$ ,  
 or  $-CH_2CH(\underbrace{N\text{-loweralkyl}})(CH_2)_2CHCH_2-$ ;

one of  $R^6$  and  $R^7$  is H and the other is H, OH, or  
 $N(CH_2)_{1-6}R^{14}R^{15}$ ; or  $R^6$  and  $R^7$  taken together are



$R^8$  is H,  $\text{COOR}^9$ ,  $\text{CONHR}^{10}$ ,  $\text{CSNHR}^{11}$ ,  $\text{COR}^{12}$ ,  $\text{SO}_2\text{R}^{13}$ ,  
 lower alkyl, aryl lower alkyl, heteroaryl,  
 or heteroaryl lower alkyl, wherein aryl is  
 optionally substituted with 1-3  
 substituents selected from lower alkyl,  
 lower alkoxy, halo, CN,  $\text{NH}_2$ ,  $\text{COOH}$ ,  $\text{CONH}_2$ ,  
 carboalkoxy, and mono- or di-lower  
 alkylamino and wherein heteroaryl is a  
 mono- or bicyclic heteroaromatic ring  
 system of 5 to 10 members including 1 to 3  
 heteroatoms selected from O, N, and S and  
 0-3 substituents selected from halo, amino,  
 cyano, lower alkyl, carboalkoxy,  $\text{CONH}_2$ , and  
 S-lower alkyl;

$R^9$  is lower alkyl, aryl, aryl lower alkyl,  
 heteroaryl, aryl substituted by 1-3  
 substituents selected from alkyl, alkenyl,  
 alkoxy, methylene dioxy, and halo, or a 5-  
 to 6-membered heterocyclic ring wherein the

hetero atom is O or N, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;

R<sup>10</sup> and R<sup>11</sup> are each independently lower alkyl, aryl, aryl loweralkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;

R<sup>12</sup> is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;

R<sup>13</sup> is lower alkyl, aryl or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;

R<sup>14</sup> is H; alkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; -CH<sub>2</sub>NR<sup>16</sup>C(O)R<sup>16</sup>;

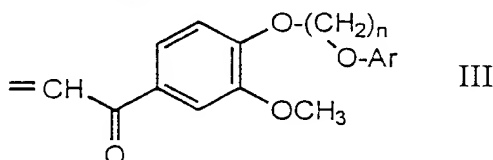
-C(O)NR<sup>16</sup>R<sup>16</sup>; -CH<sub>2</sub>OC(O)R<sup>16</sup>; or -CH<sub>2</sub>SC(O)R<sup>16</sup>;

R<sup>15</sup> is H, alkyl, -C(O)X, -C(S)X, or -C(NCN)NR<sup>3</sup>R<sup>3</sup>;

- $R^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;  
 $X$  is alkyl, aryl, arylalkyl, O-loweralkyl, or  $-NR^3R^3$ ;  
 $Z$  is  $-(CH_2)_{1-6}-$ , optionally substituted with 1-3 lower alkyl;  $-CHR^2-$ ;  $-Phe-CH_2-$ , where Phe is optionally mono-substituted with halogen, lower alkyl, or alkoxy; or heteroarylene- $(CH_2)-$ ;  
 $m$  is 2 or 3; and  
 $n$  is 4-9.

2. A combinatorial chemical library of Claim 1 wherein:

T'-L- is of the Formula:



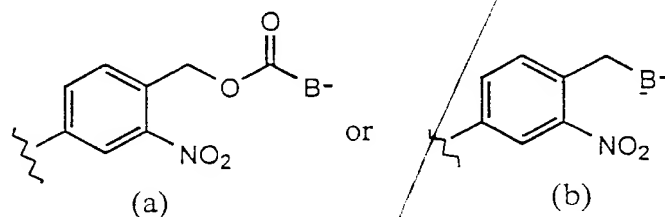
wherein

$n=3-12$  when Ar is pentachlorophenyl and

$n=3-6$  when Ar is 2,4,6-trichlorophenyl;

$q$  is 4-12; and

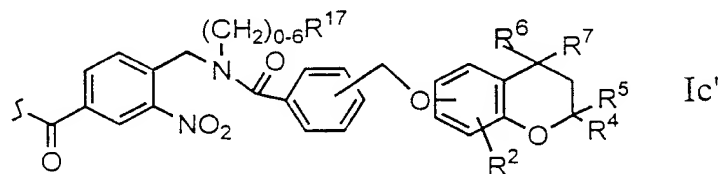
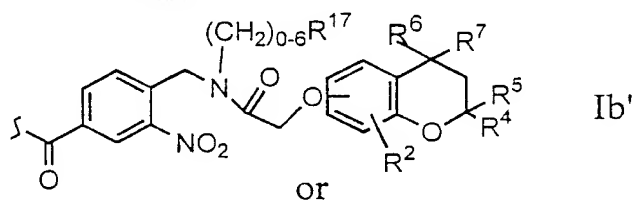
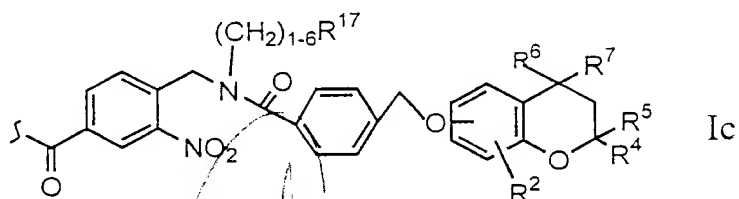
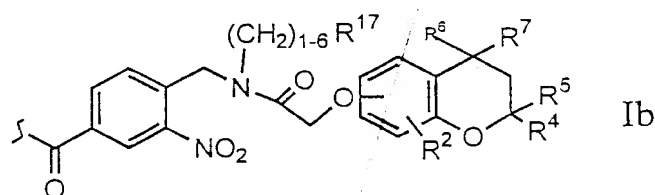
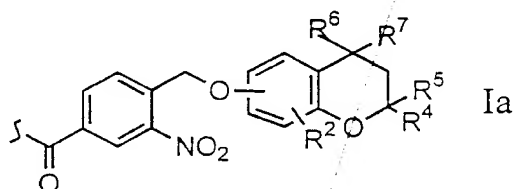
-L'- is



wherein the left-hand bond as shown is the point of attachment to the solid support and the right hand bond is the point of attachment to the compound, and B is O or  $N(CH_2)_{1-6}R^{17}$ , with the proviso that in (b) when B is  $N(CH_2)_{1-6}R^{17}$ , the compound is attached to B through a carbonyl group; and wherein

$R^{17}$  is H; alkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; substituted heterocycloalkyl; diphenylmethyl;  $-CH_2NR^{16}C(O)R^{16}$ ;  $-C(O)NR^{16}R^{16}$ ;  $-CH_2OC(O)R^{16}$ ; or  $-CH_2SC(O)R^{16}$ .

3. A combinatorial chemical library of claim 1 wherein  $-C(O)-L'-II'$  is:

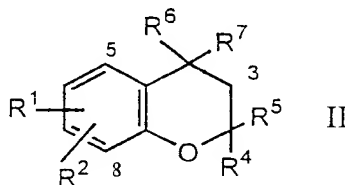


wherein:

$R^{17}$  is H; alkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or

arylsulphonamido; alkenyl; alkynyl;  
 aryl; substituted aryl; heteroaryl;  
 substituted heteroaryl;  
 heterocycloalkyl; substituted  
 heterocycloalkyl; diphenylmethyl;  
 $-\text{CH}_2\text{NR}^{15}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{15}\text{R}^{16}$ ;  
 $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; or  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ .

4. A compound of the formula:



wherein:

$\text{R}^1$  is  $\text{OH}$ ,  $\text{O}(\text{CH}_2)_{1-2}\text{OH}$ ,  $\text{OCH}_2\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{H}$ ,

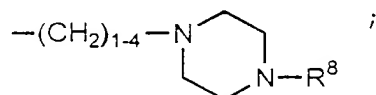
$\text{O}-\text{Z}-\text{C}(\text{O})\text{NHCHR}^{18}(\text{CH}_2)_{0-5}\text{R}^{17}$ , or

$\text{OCH}_2-4\text{-Phe}-\text{C}(\text{O})\text{NHCHR}^{18}(\text{CH}_2)_{0-5}\text{R}^{17}$ ;

$\text{R}^2$  is  $\text{H}$  or lower alkyl;

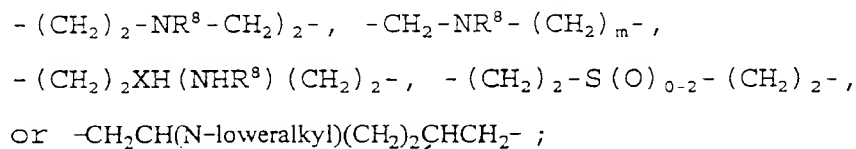
$\text{R}^3$  is  $\text{H}$ , alkyl, aryl, or arylalkyl;

$\text{R}^4$  and  $\text{R}^5$  are each independently  $\text{H}$ , lower alkyl, or substituted lower alkyl where the substituents are 1-3 alkoxy, aryl, substituted aryl, carboalkoxy, carboxamido, di-loweralkylamido, or

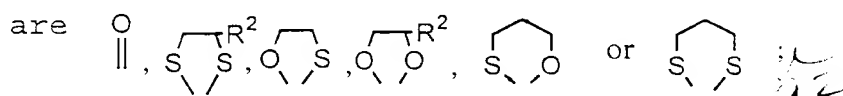


or  $\text{R}^4$  and  $\text{R}^5$  taken together are  $-(\text{CH}_2)_n-$ ,

$-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_2-$ ,  $-\text{CH}_2-\text{O}-(\text{CH}_2)_3-$ ,



one of  $\text{R}^6$  and  $\text{R}^7$  is H and the other is H, OH, or  $\text{N}(\text{CH}_2)_{1-6}\text{R}^{14}\text{R}^{15}$ ; or  $\text{R}^6$  and  $\text{R}^7$  taken together



$\text{R}^8$  is H,  $\text{COOR}^9$ ,  $\text{CONHR}^{10}$ ,  $\text{CSNHR}^{11}$ ,  $\text{COR}^{12}$ ,  $\text{SO}_2\text{R}^{13}$ , lower alkyl, aryl lower alkyl, heteroaryl, or heteroaryl lower alkyl, wherein aryl is optionally substituted with 1-3 substituents selected from lower alkyl, lower alkoxy, halo, CN,  $\text{NH}_2$ , COOH,  $\text{CONH}_2$ , carboalkoxy, and mono- or di-lower alkylamino and wherein heteroaryl is a mono- or bicyclic heteroaromatic ring system of 5 to 10 members including 1 to 3 heteroatoms selected from O, N, and S and 0-3 substituents selected from halo, amino, cyano, lower alkyl, carboalkoxy,  $\text{CONH}_2$ , and S-lower alkyl;

$\text{R}^9$  is lower alkyl, aryl, aryl lower alkyl, heteroaryl, aryl substituted by 1-3 substituents selected from alkyl, alkenyl, alkoxy, methylene dioxy, and halo, or a 5- to 6-membered heterocyclic ring wherein the hetero atom is O or N, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members



including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;

$R^{10}$  and  $R^{11}$  are each independently lower alkyl, aryl, aryl lower alkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;

$R^{12}$  is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;

$R^{13}$  is lower alkyl, aryl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;

$R^{14}$  is H; alkyl; alkyl substituted by 1-3 alkoxy, S-, loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$ ;  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; or  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;

$R^{15}$  is H, alkyl,  $-\text{C}(\text{O})\text{X}$ ,  $-\text{C}(\text{S})\text{X}$ , or  $-\text{C}(\text{NCN})\text{NR}^3\text{R}^3$ ;

$R^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

$R^{17}$  is H; alkyl; alkyl substituted by 1-3 alkoxy,

S-, loweralkyl, sulfamoyl, halo,  
alkylsulphonamido, or arylsulphonamido;  
alkenyl; alkynyl; aryl; substituted aryl;  
heteroaryl; substituted heteroaryl;  
heterocycloalkyl; heterocycloalkyl;  
diphenylmethyl;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$ ;  
 $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; or  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;

$\text{R}^{18}$  is H or  $-(\text{CH}_2)_{0-5}\text{R}^{17}$ ;

X is alkyl, aryl, arylalkyl, O-loweralkyl, or  
 $-\text{NR}^3\text{R}^3$ ;

Z is  $-(\text{CH}_2)_{1-6}-$ , optionally substituted with 1-3  
lower alkyl;  $-\text{CHR}^2-$ ;  $-\text{Phe}-\text{CH}_2-$ , where Phe is  
optionally mono-substituted with halogen,  
lower alkyl, or alkoxy; or  
heteroarylene- $(\text{CH}_2)-$ ;

m is 2 or 3;

n is 4-9;

or a pharmaceutically acceptable salt thereof.

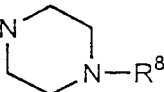
5. A compound of claim 4 wherein  $\text{R}^{12}$  is  
sulfamoylphenyl.

6. A compound of claim 4 wherein  $\text{R}^{12}$  is p-  
sulfamoylphenyl.

7. A compound of claim 4 wherein:

$\text{R}^1$  is OH,  $\text{OCH}_2\text{C}(\text{O})\text{NH}(\text{CH}_2)_{1-6}\text{R}^{17}$ , or  
 $\text{OCH}_2-4-\text{Phe}-\text{C}(\text{O})\text{NH}(\text{CH}_2)_{1-6}\text{R}^{17}$ ;

$\text{R}^2$  is H or lower alkyl;

$R^4$  and  $R^5$  are each lower alkyl or  $-(CH_2)_{1-4}-N$   ;

or  $R^4$  and  $R^5$  taken together are  $-(CH_2)_5-$ ,  
 $-(CH_2)_2-O-(CH_2)_2-$ ,  $-(CH_2)_2-NR^8-(CH_2)_2-$ ,  
 $-(CH_2)_2-CH(NHR^8)(CH_2)_2-$ ,  $-(CH_2)_2-S-(CH_2)_2-$ ,  
 or  $-CH_2CH(NCH_3)(CH_2)_2CHCH_2-$  ;

$R^6/R^7$  are H/OH; =O, or  $-S(CH_2)_2S-$ ;

$R^8$  is H,  $COOR^9$ ,  $CONHR^{10}$ ,  $CSNHR^{11}$ ,  $COR^{12}$ ,  $SO_2R^{13}$ , lower alkyl, aryl lower alkyl, heteroaryl wherein the ring members include 1 to 3 N atoms and the substituents are halo or amino, heteroaryl lower alkyl wherein heteroaryl is 6-membered and the heteroatoms are N, or aryl lower alkyl substituted with 1 substituent selected from lower alkyl, alkoxy, and halo;

$R^9$  is lower alkyl, aryl lower alkyl, aryl, tetrahydrofuranyl, tetrahydropyranyl, or aryl substituted by 1 to 2 substituents selected from lower alkyl, alkenyl, alkoxy, methylene dioxy, and halo;

$R^{10}$  and  $R^{11}$  are each independently aryl, aryl lower alkyl, or aryl substituted by 1 substituent selected from lower alkyl, halo, alkoxy, trifluoromethyl, and pentafluoroethyl;

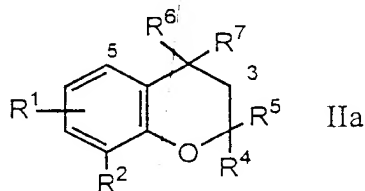
$R^{12}$  is lower alkyl, aryl, aryl lower alkyl, heteroaryl lower alkyl wherein the heteroatoms are N, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from S and N

lower alkyl, or aryl substituted with 1  
substituent selected from lower alkyl, alkoxy,  
halo, sulfamoyl, cyano, or phenyl;

R<sup>13</sup> is lower alkyl, aryl, or aryl substituted with 1  
substituent selected from lower alkyl, alkoxy,  
and halo;

or a pharmaceutically acceptable salt thereof.

8. A compound of Claim 4 of the formula:



wherein:

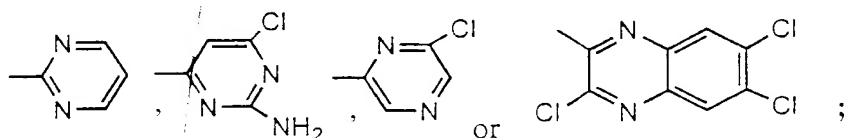
R<sup>1</sup> is 6- or 7-OH;

R<sup>2</sup> is H or lower alkyl;

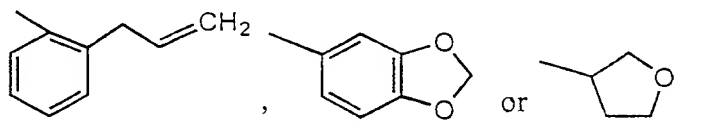
R<sup>4</sup> and R<sup>5</sup> is each methyl; or R<sup>4</sup> and R<sup>5</sup> taken together  
are  $-(CH_2)_5-$ ,  $-(CH_2)_2-O-(CH_2)_2-$ ,  
 $-(CH_2)_2-NR^8-(CH_2)_2-$ ,  $-CH_2-NR^8-(CH_2)_3-$ ,  
 $-CH_2-NR^8-(CH_2)_2-$ , or  $-(CH_2)_2-CH(NHR^8)(CH_2)_2-$ ;

one of R<sup>6</sup> and R<sup>7</sup> is H and the other is OH or R<sup>6</sup> and R<sup>7</sup>  
taken together are =O or  $-S(CH_2)_2S-$ ;

R<sup>8</sup> is H, COOR<sup>9</sup>, CONHR<sup>10</sup>, CSNHR<sup>11</sup>, COR<sup>12</sup>, SO<sub>2</sub>R<sup>13</sup>,  
benzyl,  $-CH_2-Ph-4-F$ ,  $-CH_2-Ph-4-OCH_3$ ,  
 $-CH_2-4-Py$ , n-butyl,  $-CH_2-c-propyl$ ,



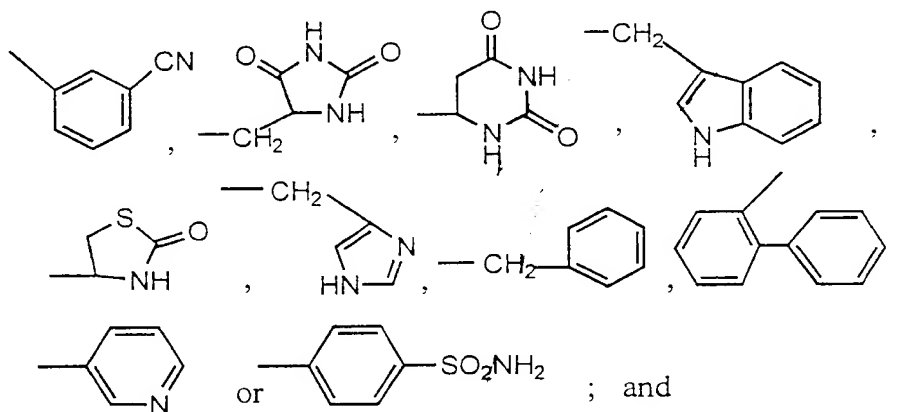
R<sup>9</sup> is i-propyl, phenyl, phenethyl, t-butyl,



R<sup>10</sup> phenyl, p-chlorophenyl, or  
p-trifluoromethylphenyl;

R<sup>11</sup> is phenyl, benzyl, or 1-naphthyl;

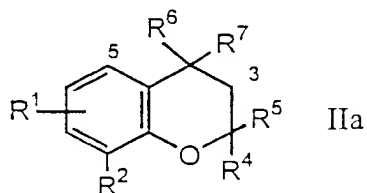
R<sup>12</sup> is



R<sup>13</sup> is 1- or 2-naphthyl, phenyl, 4-chlorophenyl,  
4-methylphenyl, 4-t-butylphenyl, n-butyl,  
or i-propyl;

or a pharmaceutically acceptable salt thereof.

9. A compound of Claim 4 of the formula:



wherein:

$R^1$  is 6- or 7-OH when  $R^2$  is H;

$R^1$  is 7-OH when  $R^2$  is  $CH_3$ ;

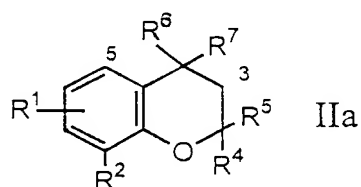
$R^4$  and  $R^5$  is each methyl; or  $R^4$  and  $R^5$  taken together are  $-(CH_2)_5-$ ,  $-(CH_2)_2-O-(CH_2)_2-$ ,  $-(CH_2)_2-NR^8-(CH_2)_2-$ ,  $-CH_2-NR^8-(CH_2)_3-$ ,  $-CH_2-NR^8-(CH_2)_2-$ , or  $-(CH_2)_2-CH(NHR^8)(CH_2)_2-$ ;

one of  $R^6$  and  $R^7$  is H and the other is OH or  $R^6$  and  $R^7$  taken together are  $=O$  or  $-S(CH_2)_2S-$ ; and

R<sup>a</sup> is


		or H.

10. A compound of claim 4 of the formula:



wherein:

- $R^1$  is 6- or 7- $\text{OCH}_2\text{C}(\text{O})\text{NHCHR}^{13}(\text{CH}_2)_{0-5}\text{R}^{17}$ ,  
 6- or 7- $\text{OCH}_2$ -4-Phe- $\text{C}(\text{O})\text{NHCHR}^{13}(\text{CH}_2)_{0-5}\text{R}^{17}$  when  
 $R_2$  is H;  
 $R^1$  is 7- $\text{OCH}_2\text{C}(\text{O})\text{NHCHR}^{18}(\text{CH}_2)_{0-5}\text{R}^{17}$ , or  
 7- $\text{OCH}_2$ -4-Phe- $\text{C}(\text{O})\text{NHCHR}^{18}(\text{CH}_2)_{0-5}\text{R}^{17}$  when  $R^2$  is

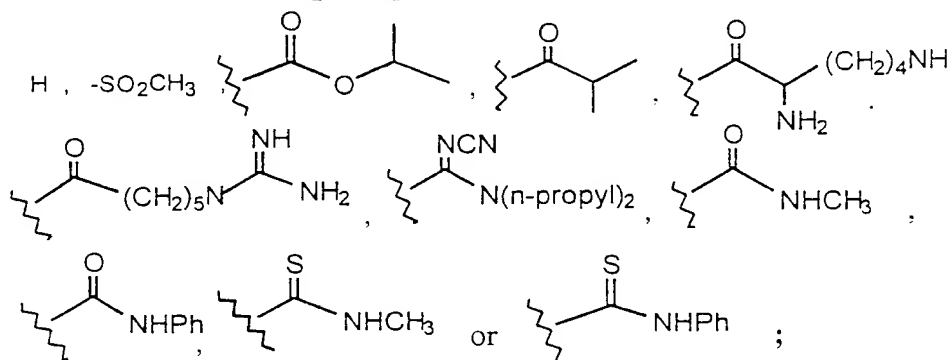


CH<sub>3</sub>;

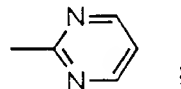
R<sup>4</sup> and R<sup>5</sup> are each methyl; or R<sup>4</sup> and R<sup>5</sup> taken together are -(CH<sub>2</sub>)<sub>5</sub>-, -(CH<sub>2</sub>)<sub>2</sub>-O-(CH<sub>2</sub>)<sub>2</sub>-,  
 -(CH<sub>2</sub>)<sub>2</sub>-NR<sup>8</sup>-(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>-CH(NHR<sup>8</sup>)(CH<sub>2</sub>)<sub>2</sub>-,  
 -(CH<sub>2</sub>)<sub>2</sub>-S-(CH<sub>2</sub>)<sub>2</sub>-, or  $\text{-(CH}_2\text{CH(NCH}_3\text{)(CH}_2\text{)}_2\text{CHCH}_2\text{-)}$ ;

or R<sup>4</sup> is methyl and R<sup>5</sup> is -CH<sub>2</sub>OCH<sub>3</sub> or  
 -(CH<sub>2</sub>)<sub>3</sub>N(Et)<sub>2</sub>;

one of R<sup>6</sup> and R<sup>7</sup> is H and the other is OH; or R<sup>6</sup> and R<sup>7</sup> taken together are =O or -S(CH<sub>2</sub>)<sub>2</sub>S-; or one of R<sup>6</sup> and R<sup>7</sup> is H and the other is NAB, where A is methyl, 2-methoxyethyl, 2-phenylethyl, 4-methoxybenzyl, 2-tetrahydro-furanylmethyl, 2-(3,4-dimethoxyphenyl)ethyl, or 2,2-diphenylethyl and B is



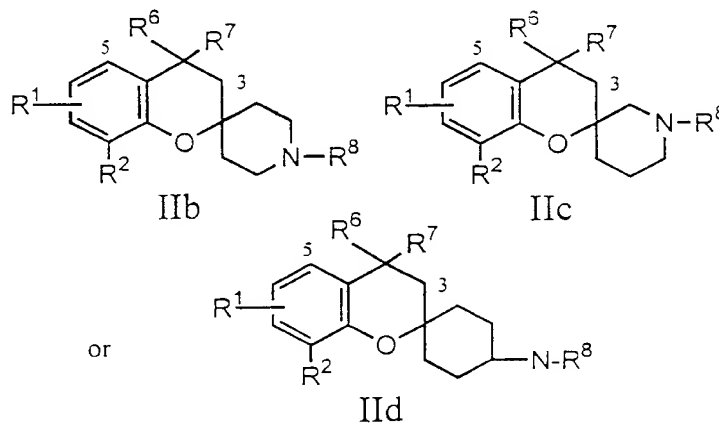
R<sup>8</sup> is H, CONHCH<sub>3</sub>, SO<sub>2</sub>Phe, (CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, CO(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, benzyl, C(O)-(4-Phe)-SO<sub>2</sub>NH<sub>2</sub>, or



(CH<sub>2</sub>)<sub>1-3</sub>R<sup>14</sup> is methyl, n-butyl, 3-methoxy-n-propyl, CH<sub>2</sub>-c-propyl, or -(CH<sub>2</sub>)<sub>1-3</sub>-phenyl; and

$(\text{CH}_2)_{1-6}\text{R}^{17}$  is methyl, 2-methoxyethyl, 2-phenylethyl, 4-methoxybenzyl, methyl-2-tetrahydrofuranyl, 2-(3,4-dimethoxyphenyl)ethyl, or 2,2-diphenylethyl; or a pharmaceutically acceptable salt thereof.

11. A compound of claim 4 of the formula IIb, IIc, or IId:



wherein:

R<sup>1</sup> is 6- or 7-OH, 6- or 7-OCH<sub>2</sub>C(O)NHCHR<sup>18</sup>(CH<sub>2</sub>)<sub>0-5</sub>R<sup>17</sup>, or 6- or 7-OCH<sub>2</sub>-4-Phe-C(O)NHCHR<sup>18</sup>(CH<sub>2</sub>)<sub>0-5</sub>R<sup>17</sup>;

R<sup>2</sup> is H or CH<sub>3</sub>;

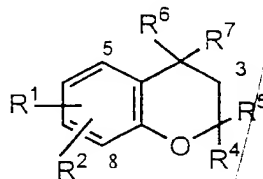
R<sup>8</sup> is -CO-Phe-p-SO<sub>2</sub>NH<sub>2</sub>; and

R<sup>6</sup> and R<sup>7</sup> together are =O or -SCH<sub>2</sub>CH<sub>2</sub>S-.

12. A compound of Claim 11 wherein the substituents are as follows:

	Formula IIb	Formula IIc	Formula IId		
R <sup>1</sup>	7-OH	6-OH	6-OH	6-OH	7-OH
R <sup>2</sup>	H	H	H	H	CH <sub>3</sub>
R <sup>6</sup> /R <sup>7</sup>	-O-	-SCH <sub>2</sub> CH <sub>2</sub> S-	-O-	-SCH <sub>2</sub> CH <sub>2</sub> S-	-SCH <sub>2</sub> CH <sub>2</sub> S-

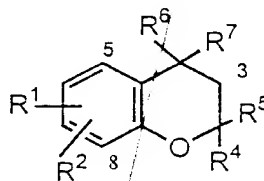
13. A compound of Claim 4 of the formula:



wherein the substituents are as follows:

R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>
6-OH	8-CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	OH	H
7-OH	8-CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	OH
5-OH	7-C <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub> H <sub>5</sub>	NH <sub>2</sub>	H
6-OH-(CH <sub>2</sub> ) <sub>2</sub> OH	H	C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	=O	
7-OCH <sub>2</sub> CO <sub>2</sub> H	7-CH <sub>2</sub> H <sub>5</sub>	-(CH <sub>2</sub> ) <sub>4</sub> -	H		morpholino
8-O-(CH <sub>2</sub> ) <sub>2</sub> OH	H	-(CH <sub>2</sub> ) <sub>5</sub> -	N(CH <sub>3</sub> ) <sub>2</sub>		H
6-CO <sub>2</sub> H	8-CH <sub>3</sub>	-(CH <sub>2</sub> ) <sub>6</sub> -		-S(CH <sub>2</sub> ) <sub>2</sub> S-	
6-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> -		=O	
7-OH	8-CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	-S(CH <sub>2</sub> ) <sub>2</sub> S-	
6-OH	H	-(CH <sub>2</sub> ) <sub>5</sub> -		=O	

14. A compound of Claim 4 of the formula:



wherein the substituents are as follows:

R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup> / R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	R <sup>8</sup>
6-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> (CH <sub>2</sub> ) <sub>2</sub> -	OH	H	-CONH-Ph-4-CF <sub>3</sub>
7-OH	8-CH <sub>3</sub>	-CH <sub>2</sub> NR <sup>8</sup> (CH <sub>2</sub> ) <sub>3</sub> -		H	-SO <sub>2</sub> -2-Naph
5-O(CH <sub>2</sub> ) <sub>2</sub> OH	7-C <sub>2</sub> H <sub>5</sub>	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> CH <sub>2</sub> -		H	-CSNH-Ph
6-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> (CH <sub>2</sub> ) <sub>2</sub> -	=O		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
7-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> CH(NR <sup>8</sup> )(CH <sub>2</sub> ) <sub>2</sub> -	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
6-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> (CH <sub>2</sub> ) <sub>2</sub> -	=O		-COCH <sub>2</sub> Ph
6-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> CH <sub>2</sub> -	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO <sub>2</sub> -2-Py
7-OH	8-CH <sub>3</sub>	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> (CH <sub>2</sub> ) <sub>2</sub> -	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
6-OH	H	-(CH <sub>2</sub> ) <sub>2</sub> NR <sup>8</sup> CH <sub>2</sub> -	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>

7-OH	H	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	=O		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
6-OH	H	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	OH	H	CONH-Ph-4-CF <sub>3</sub>
7-OH	8-CH <sub>3</sub>	$-(CH_2)_2NR^8-$ CH <sub>2</sub> -	N(CH <sub>3</sub> ) <sub>2</sub>	H	-SO <sub>2</sub> -2-Naph
5-O(CH <sub>2</sub> ) <sub>2</sub> - OH	7-C <sub>2</sub> H <sub>5</sub>	$-(CH_2)_2NR^8-$ CH <sub>2</sub> -	-SCH <sub>2</sub> CH- (CH <sub>3</sub> )S-	H	-CSNH-Ph
6-OH	H	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	=O		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
7-OH	H	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
6-OH	H	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	=O		COCH <sub>2</sub> Ph
6-OH	H	$-(CH_2)_2NR^8-$ CH <sub>2</sub> -	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO <sub>2</sub> -2-Py
7-OH	8-CH <sub>3</sub>	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
6-OH	H	$-(CH_2)_2NR^8-$ CH <sub>2</sub> -	-S(CH <sub>2</sub> ) <sub>2</sub> S-		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>
7-OH	H	$-(CH_2)_2NR^8-$ $(CH_2)_2-$	=O		-CO-Ph-4-SO <sub>2</sub> NH <sub>2</sub>

15. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 4 and a pharmaceutically acceptable carrier.

16. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 9 and a pharmaceutically acceptable carrier.

17. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 10 and a pharmaceutically acceptable carrier.

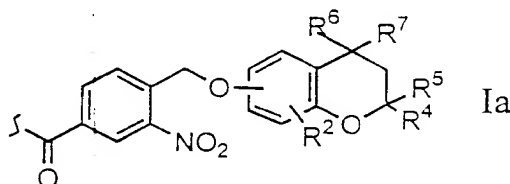
18. A pharmaceutical composition for inhibiting carbonic anhydrase in a mammal comprising a therapeutically effective amount of a compound of Claim 11 and a pharmaceutically acceptable carrier.

19. A method of inhibiting carbonic anhydrase isozymes in a mammal which comprises administering to said mammal an effective amount of a compound of Claim 11.

20. A method of treating glaucoma in a mammal which comprises administering to a mammal in need of such treatment an effective amount of a compound of Claim 11.

21. A method of identifying a ligand having a desired characteristic which comprises synthesizing a combinatorial library of Claim 1 and testing the compounds in said library in an assay which identifies compounds having the desired characteristic.

22. A method of Claim 21 wherein the compounds in said library are those wherein -C(O)-L''II' is of the formula Ia:



23. A method of Claim 21 further comprising determining the structure of any ligand so identified.

24. A method of Claim 21 wherein said characteristic is carbonic anhydrase inhibition.

25. A method of identifying a ligand having a desired characteristic which comprises synthesizing a combinatorial library of Claim 1, detaching the ligands from the solid supports in said library, and testing said library of ligands in an assay which identifies compounds having the desired characteristic.

26. A method of Claim 25 to further comprising determining the structure of any ligand so identified.

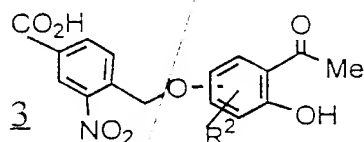
27. The use of divinylbenzene-cross-linked, polyethyleneglycol-grafted polystyrene beads as the



solid supports for constructing combinatorial libraries of Claim 1.

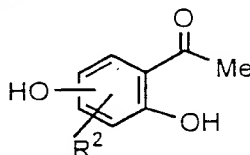
28. A method of Claim 27 wherein the ligand is detached from said solid supports by photolysis.

29. A process for preparing a compound of the formula:

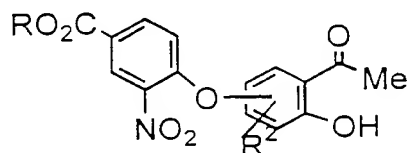


where  $R^2$  is H or lower alkyl;

which comprises a) reacting allyl or methyl 4-(hydroxymethyl)-3-nitrobenzoate with a compound of the formula:



in the presence of triphenylphosphine, toluene, and DEAD and stirring the mixture at room temperature to produce

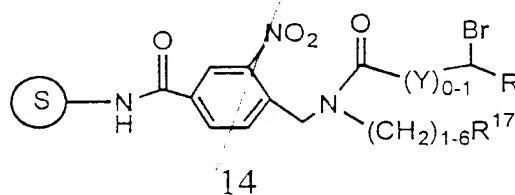


where R is allyl or methyl

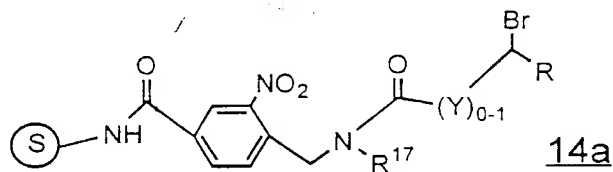
and b) when R is allyl reacting said compound with

methylene chloride, tetrakis(triphenyl)phosphine palladium(0), and pyrrolidine and stirring the mixture at 0°C, or when R is methyl reacting said compound with dilute NaOH and THF and stirring the mixture at 0°C.

30. A compound of the formula:



or



wherein:

Ⓢ is a solid support

R<sup>16</sup> is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

R<sup>17</sup> is H; alkyl; substituted heterocycloalkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl;

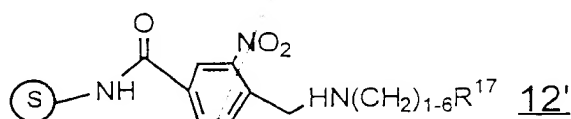
heterocycloalkyl;  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;

$-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{15}\text{R}^{15}$ ; or  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{15}$ ;

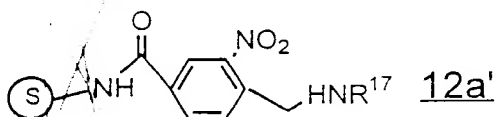
R is H or alkyl; and

Y is aryl or heteroaryl.

31. A compound of the formula:



or



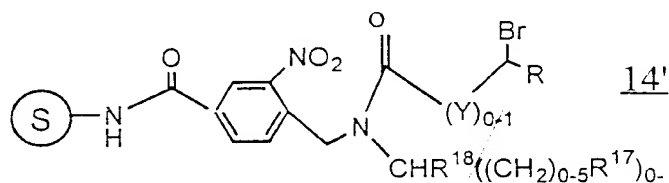
wherein:

(S) is a solid support;

R<sup>16</sup> is lower alkyl, substituted lower alkyl, aryl, or substituted aryl; and

R<sup>17</sup> is H; alkyl; substituted heterocycloalkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl;  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{15}\text{R}^{15}$ ; or  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{15}$ .

32. A compound of the formula:



wherein:

Ⓢ is a solid support;

$R^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

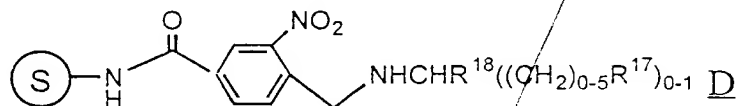
$R^{17}$  is H; alkyl; substituted heterocycloalkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; substituted heterocycloalkyl  $-CH_2SC(O)R^{16}$ ;  $-CH_2NR^{16}C(O)R^{16}$ ;  $-C(O)NR^{16}R^{16}$ ; or  $-CH_2OC(O)R^{16}$ ;

$R^{18}$  is H or  $(CH_2)_{0-5}R^{17}$ ;

R is H or alkyl; and

Y is aryl or heteroaryl.

33. A compound of the formula:



wherein:

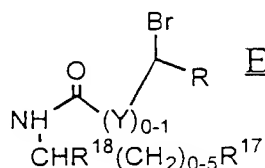
Ⓢ is a solid support;

$\text{R}^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

$\text{R}^{17}$  is H; alkyl; substituted heterocycloalkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; substituted heterocycloalkyl;  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$ ; or  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; and

$\text{R}^{18}$  is H or  $(\text{CH}_2)_{0-5}\text{R}^{17}$ ;

34. A compound of the formula:

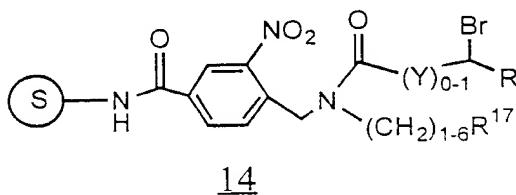
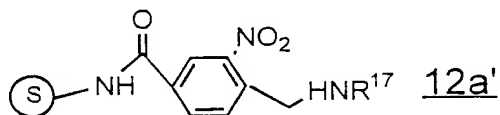
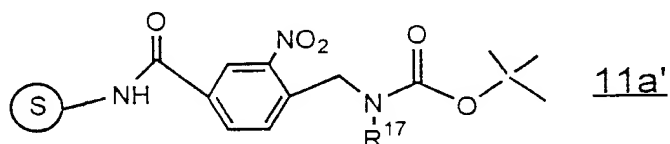


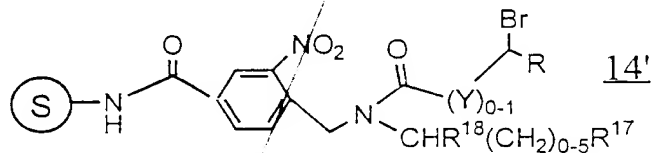
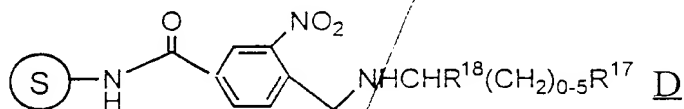
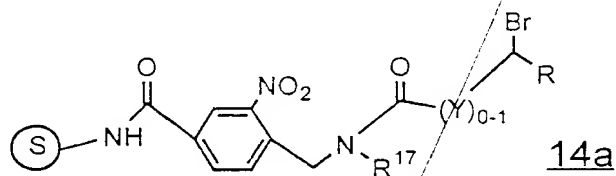
wherein:

$\text{R}^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

$R^{17}$  is H; alkyl; substituted heterocycloalkyl;  
 alkyl substituted by 1-3 alkoxy,  
 S-loweralkyl, sulfamoyl, halo,  
 alkylsulphonamido, or arylsulphonamido;  
 alkenyl; alkynyl; aryl; substituted aryl;  
 heteroaryl; substituted heteroaryl;  
 heterocycloalkyl; substituted  
 heterocycloalkyl;  $-CH_2SC(O)R^{16}$ ;  
 $-CH_2NR^{16}C(O)R^{16}$ ;  $-C(O)NR^{16}R^{16}$ ; or  $-CH_2OC(O)R^{16}$ ;  
 $R^{18}$  is H or  $(CH_2)_{0-5}R^{17}$ ;  
 $R$  is H or alkyl; and  
 $Y$  is aryl or heteroaryl.

35. A method of synthesizing combinatorial libraries  
 which comprises the use in said syntheses of a  
 compound of the formula 11a', 12a', 14, 14a, D, or  
 14':

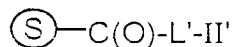




wherein:

- (S) is a solid support;
- R is H or alkyl;
- R<sup>16</sup> is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;
- R<sup>17</sup> is H; alkyl; substituted heterocycloalkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl; sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; diphenylmethyl, -CH<sub>2</sub>SC(O)R<sup>16</sup>; -CH<sub>2</sub>NR<sup>16</sup>C(O)R<sup>16</sup>; -C(O)NR<sup>16</sup>R<sup>16</sup>; or -CH<sub>2</sub>OCOR<sup>16</sup>;
- R<sup>18</sup> is H or (CH<sub>2</sub>)<sub>0-5</sub>R<sup>17</sup>; and
- Y is aryl or heteroaryl.

36. A combinatorial chemical library of the formula:

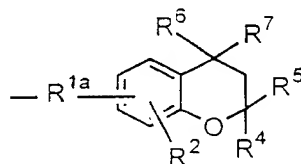


wherein:

$\textcircled{\text{S}}$  is a solid support;

$-\text{L}'-\text{II}'$  is a compound/linker residue; and

$-\text{II}'$  is of the formula:



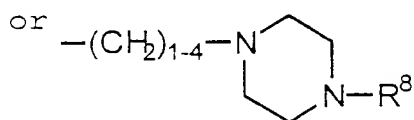
wherein:

$\text{R}^{1a}$  is  $-\text{CH}_2-\text{O}-$ ,  $-\text{CH}_2-\text{CH}_2-\text{O}-$ ,  $-\text{C}(=\text{O})-\text{CH}_2-\text{O}-$ ,  $-\text{C}(=\text{O})-$ ,  
or when  $\text{L}'$  terminates in  $\text{N}(\text{CH}_2)_{1-6}\text{R}^{17}$ ,  $\text{R}^{1a}$  may  
additionally be  $-\text{C}(=\text{O})-\text{Z}-\text{O}-$  or  
 $-\text{C}(=\text{O})-4\text{-Phe}-\text{CH}_2-\text{O}-$ ;

$\text{R}^2$  is H or lower alkyl;

$\text{R}^3$  is H, alkyl, aryl or arylalkyl;

$\text{R}^4$  and  $\text{R}^5$  are each independently H, lower alkyl, or  
substituted lower alkyl where the substituents  
are 1-3 alkoxy, aryl, substituted aryl,  
carboalkoxy, carboxamido, diloweralkylamido,

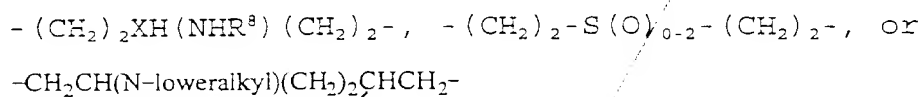


or  $\text{R}^4$  and  $\text{R}^5$  taken together are  $-(\text{CH}_2)_n-$ ,

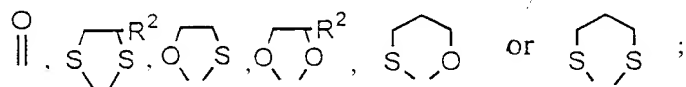
$-(\text{CH}_2)_2-\text{O}-(\text{CH}_2)_2-$ ,  $-\text{CH}_2-\text{O}-(\text{CH}_2)_3-$ ,

$-(\text{CH}_2)_2-\text{NR}^8-\text{CH}_2)_2-$ ,  $-\text{CH}_2-\text{NR}^8-(\text{CH}_2)_n-$ ,





one of  $\text{R}^6$  and  $\text{R}^7$  is H and the other is H, OH, or  $\text{N}(\text{CH}_2)_{1-5}\text{R}^{14}\text{R}^{15}$ ; or  $\text{R}^6$  and  $\text{R}^7$  taken together are



$\text{R}^8$  is H,  $\text{COOR}^9$ ,  $\text{CONHR}^{10}$ ,  $\text{CSNHR}^{11}$ ,  $\text{COR}^{12}$ ,  $\text{SO}_2\text{R}^{13}$ , lower alkyl, aryl lower alkyl, heteroaryl, or heteroaryl lower alkyl, wherein aryl is optionally substituted with 1-3 substituents selected from lower alkyl, lower alkoxy, halo, CN,  $\text{NH}_2$ , COOH,  $\text{CONH}_2$ , carboalkoxy, and mono- or di-lower alkylamino and wherein heteroaryl is a mono- or bicyclic heteroaromatic ring system of 5 to 10 members including 1 to 3 heteroatoms selected from O, N, and S and 0-3 substituents selected from halo, amino, cyano, lower alkyl, carboalkoxy,  $\text{CONH}_2$ , and S-lower alkyl;

$\text{R}^9$  is lower alkyl, aryl, aryl lower alkyl, heteroaryl, aryl substituted by 1-3 substituents selected from alkyl, alkenyl, alkoxy, methylene dioxy, and halo, or a 5- to 6-membered heterocyclic ring wherein the hetero atom is O or N, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;

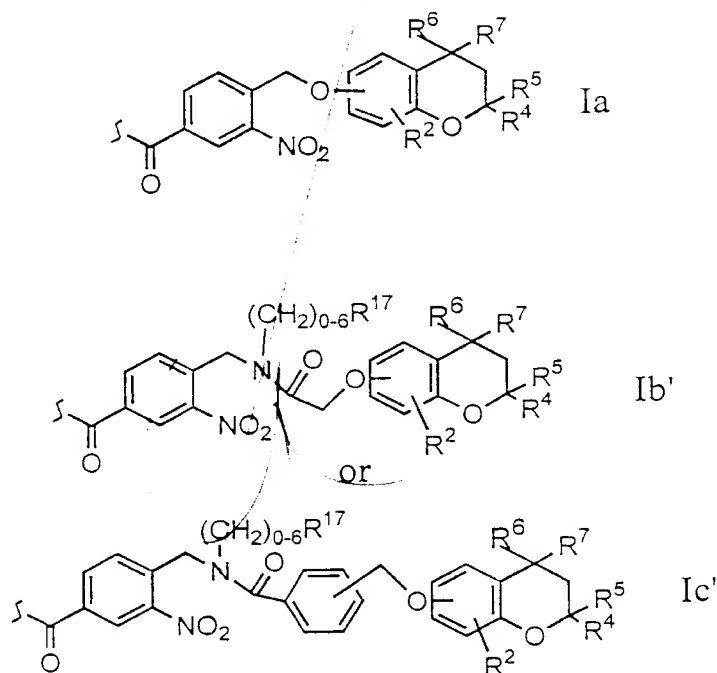
- $R^{10}$  and  $R^{11}$  are each independently lower alkyl, aryl, aryl loweralkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;
- $R^{12}$  is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;
- $R^{13}$  is lower alkyl, aryl or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;
- $R^{14}$  is H; alkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$ ;  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; or  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;
- $R^{15}$  is H, alkyl,  $-\text{C}(\text{O})\text{X}$ ,  $-\text{C}(\text{S})\text{X}$ , or  $-\text{C}(\text{NCN})\text{NR}^3\text{R}^3$ ;
- $R^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;
- X is alkyl, aryl, arylalkyl, O-loweralkyl, or  $-\text{NR}^3\text{R}^3$ ;
- Z is  $-(\text{CH}_2)_{1-6}-$ , optionally substituted with 1-3 lower alkyl;  $-\text{CHR}^2-$ ;  $-\text{Phe}-\text{CH}_2-$ , where Phe is optionally mono-substituted with halogen, lower

alkyl, or alkoxy; or heteroarylene-(CH<sub>2</sub>)-;

m is 2 or 3; and

n is 4-9.

37. A combinatorial chemical library according to claim 36 wherein -C(O)-L'-II' is:



wherein:

R<sup>17</sup> is H; alkyl; alkyl substituted by 1-3 alkoxy, S-loweralkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; substituted heterocycloalkyl; diphenylmethyl; -CH<sub>2</sub>NR<sup>16</sup>C(O)R<sup>16</sup>; -C(O)NR<sup>16</sup>R<sup>16</sup>; -CH<sub>2</sub>OC(O)R<sup>16</sup>; or -CH<sub>2</sub>SC(O)R<sup>16</sup>.